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## Claim Amendments.

## 1. (currently amended) A compound of formula I:

or a pharmaceutically acceptable derivative thereof, wherein:

Y is N or  $C(R^4)$ ;

 $R^1 \text{ is H, alkyl, -N(R)}_2, -(CH_2)_{1\text{-}6}N(R^\circ)_2, -(CH_2)_{1\text{-}6}OR^\circ, -NRC(O)R, -C(O)N(R)_2, -C(O)R, -NRSO_2R, -COOR, -OR, -SR, -C(O)R, halo, -OC(O)R, -NRC(O)OR, -OC(O)N(R)_2, -NRC(O)NR, -NRC(S)NR, -NRSO_2NR, -C(O)NRN(R)_2, -heteroaryl, or heterocyclyl; each <math>R^2$ ,  $R^3$  and  $R^4$  is independently H, alkyl, fluoroalkyl, -C(O)R, -COOR, -C(O)N(R)\_2, -CN, -NRC(O)R, -OR, -SR, -N(R)\_2, -(CH\_2)\_{1\text{-}6}OR^\circ, -(CH\_2)\_{1\text{-}6}N(R^\circ)\_2, or halo;

 $R^7$  is H, alkyl, or fluoroalkyl, aralkyl, carbocyclylalkyl, heterocyclyl, carbocyclyl, heterocyclylalkyl, aryl, heteroaryl, heteroaralkyl, -C(O)R,  $-(CH_2)_{1-6}OR$ ,  $-(CH_2)_{1-6}N(R)_{2}$ ,  $-C(O)CH_2C(O)R$ , -NRC(O)R,  $-N(R)_2$ ,  $-C(O)N(R)_2$ , or -C(H)(OR)R;

R<sup>8</sup> is H, alkyl, <u>or</u> fluoroalkyl<del>, carbocyclyl, carbocyclylalkyl, heteroaryl, heterocyclyl, CO<sub>2</sub>R, or CON(R)<sub>2</sub>;</del>

each R<sup>5</sup> and R<sup>6</sup> is independently H, alkyl, or fluoroalkyl;

 $R^9$  is  $-OR^{10}$  or  $-NR^{11}R^{12}$ ;

R<sup>10</sup> is R°, -C(O)R, -C(O)N(R)<sub>2</sub>, -C(O)OR, -(CH<sub>2</sub>)<sub>1-6</sub>-C(O)R, -PO<sub>3</sub>M<sub>x</sub>,
-P(O)(alkyl)OM', or -(PO<sub>3</sub>)<sub>2</sub>M<sub>y</sub>, carbocyclyl, aryl, heterocyclyl, heteroaryl,
carbocyclylalkyl, aralkyl, heterocyclylalkyl, heteroaralkyl, or a tumor-targeting moiety;

x is 1 or 2;

y is 1, 2 or 3;

each M is independently H, Li, Na, K, Mg, Ca, Mn, Co, Ni, Zn, or alkyl;

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          M' is H, Li, Na, K, or alkyl;
          R<sup>11</sup> is H or alkyl;
          R^{12} is H, alkyl, -C(O)R, -C(O)N(R)_2, -C(O)OR, -SO_2R, or -SO_2N(R)_2,
carbocyclyl, aryl, heterocyclyl, heteroaryl, carbocyclylalkyl, aralkyl, heterocyclylalkyl,
heteroaralkyl-or a tumor targeting moiety;
          each R<sup>a</sup> and R<sup>b</sup> is independently H<del>, OR<sup>o</sup>, alkyl, or fluoroalkyl -OH</del>;
          each R<sup>c</sup> and R<sup>d</sup> is independently H, alkyl, or fluoroalkyl;
          n is 0-4;
          X^{-} is a monovalent or divalent anion, or a counterion to the thiazolium nitrogen
located anywhere in the molecule;
          R° is H or alkyl; and
          R is Ro, earbocyclyl, aryl, heterocyclyl, heteroaryl, carbocyclylalkyl, aralkyl,
heterocyclylalkyl, or heteroaralkyl;
          provided that the following compounds are excluded:
                     Y is C(R^4);
                     R<sup>5</sup>, R<sup>6</sup>, R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> and R<sup>d</sup> are H;
                     R<sup>8</sup> is methyl;
                     R^9 is -OR^{10}, and R^{10} is H, -PO_3M_x, -(PO_3)_2M_y or -P(O)(alkyl)OM';
                     X is Cl or Br;
                     i) R<sup>1</sup> is H, R<sup>2</sup> is methyl, R<sup>3</sup> is -OH, R<sup>4</sup> is methyl, -CH<sub>2</sub>OH or
-CH<sub>2</sub>NH<sub>2</sub>, and R<sup>7</sup> is H;
                     ii) R<sup>1</sup> is -NH<sub>2</sub>, -NHMe or -N(Me)<sub>2</sub>, R<sup>2</sup> is methyl, R<sup>3</sup> is H, R<sup>4</sup> is H or -CH<sub>3</sub>,
and R^7 is H;
                     iii) R<sup>1</sup> is -NH<sub>2</sub> or OH, R<sup>2</sup> is methyl, R<sup>3</sup> is H, R<sup>4</sup> is H, and R<sup>7</sup> is H;
                     iv) R<sup>1</sup> and R<sup>3</sup> are H, R<sup>2</sup> is methyl, R<sup>4</sup> is -NH<sub>2</sub>, and R<sup>7</sup> is H;
                     v) R<sup>1</sup> is -NH<sub>2</sub>, R<sup>2</sup> is methyl, R<sup>3</sup> and R<sup>4</sup> are H, and R<sup>7</sup> is H,
-CH(OH)CO<sub>2</sub>H or -C(OH)(Me)CO<sub>2</sub>H;
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vi) R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>7</sup> are H and R<sup>2</sup> is methyl; and

vii) R<sup>1</sup> is H, R<sup>2</sup> is -NH<sub>2</sub>, R<sup>3</sup> is -OH, R<sub>4</sub> is -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, and R<sup>7</sup> is H.

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- 2. (currently amended) The compound of claim 1, wherein  $R^{10}$  is  $\underline{R^{\circ}}$ ,-C(O)R, - $C(O)N(R)_2$ , -C(O)OR, - $(CH_2)_{1-6}$ -C(O)R, or alkyl, earbocyclyl, aryl, heterocyclyl, heterocyclylalkyl, aralkyl, heterocyclylalkyl, heterocyclylalkyl, or a tumortargeting moiety; and  $R^{12}$  is -C(O)R, - $C(O)N(R)_2$ , -C(O)OR, - $SO_2R$ , or - $SO_2N(R)_2$ , carbocyclyl, aryl, heterocyclyl, heterocyclyl, carbocyclylalkyl, aralkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl,
- 3. (currently amended) The compound of claim 1, wherein  $R^{10}$  is  $R^{0}$  or and  $R^{12}$  is a polysaccharide,  $-[C(O)CH(R)N(R)]_{2-3}-R$ , an antibody, or

, wherein R<sup>13</sup> is H, alkyl, or aryl.

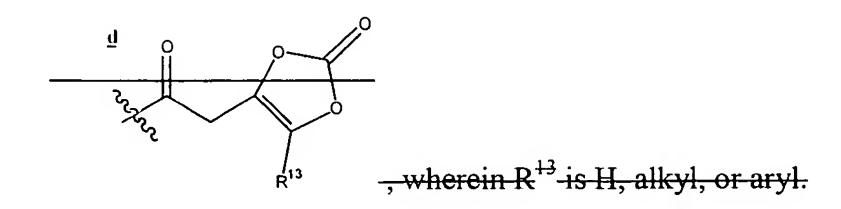
4. (cancelled).

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- 5. (currently amended) The compound of claim 4 1, wherein:
- i) R<sup>1</sup> is -N(R)<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-6</sub>N(R°)<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-6</sub>OR°, -NRC(O)R, -C(O)N(R)<sub>2</sub>, -CN, -N(R)SO<sub>2</sub>R, -COOR, -SR, -C(O)R, halo, -OC(O)R, -NRC(O)OR, -OC(O)N(R)<sub>2</sub>, -N(R)C(O)N(R), -NRC(S)NR, -NRSO<sub>2</sub>NR, or -C(O)NRN(R)<sub>2</sub>, heteroaryl, or heterocyclyl;
- ii)  $R^2$  is H, <u>alkyl</u>, fluoroalkyl, -C(O)R, -COOR, -C(O)N(R)<sub>2</sub>, -CN, -NRC(O)R, -OR, -SR, -N(R)<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-6</sub>OR°, -(CH<sub>2</sub>)<sub>1-6</sub>N(R°)<sub>2</sub>, or halo;
  - iii) R<sup>3</sup> is H, alkyl, fluoroalkyl, -C(O)R, -COOR, -C(O)N(R)<sub>2</sub>, -CN,
- -NRC(O)R, -SR, -N(R)<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-6</sub>OR°, -(CH<sub>2</sub>)<sub>1-6</sub>N(R°)<sub>2</sub>, or halo;
- iv)  $R^4$  is  $\underline{H}$ , fluoroalkyl, -C(O)R, -COOR,  $-C(O)N(R)_2$ , -CN, -NRC(O)R, -OR, -SR,  $-(CH_2)_{1-6}N(R^\circ)_2$ , or halo;
- v)  $R^{10}$  is H, -PO<sub>3</sub>M<sub>x</sub>, -(PO<sub>3</sub>)<sub>2</sub>M<sub>y</sub> or -P(O)(alkyl)OM'; or  $R^{12}$  is H or  $C_{1-6}$  alkyl; and
  - vi) n is 1.
- 6. (cancelled).

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- 7. (currently amended) The compound of 61, wherein:
- i)  $R^1$  is H,  $-N(R)_2$ , alkyl,  $-NR^{\circ}C(O)NR$ ,  $-NR^{\circ}C(O)OR$ ,  $-C(O)N(R)_2$ ,  $-(CH_2)_{1-6}N(R^{\circ})_2$ ,  $-NR^{\circ}C(O)R$ , -CN, -COOR, -OR, -SR, or halo;
  - ii) R<sup>2</sup> is H, alkyl, fluoroalkyl, -OR°, -N(R°)<sub>2</sub>, or halo;
- iii)  $R^3$  and  $R^4$  are independently H, alkyl, -OR, -N(R)<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-6</sub>OR°, or (CH<sub>2</sub>)<sub>1-6</sub>N(R°)<sub>2</sub>;
- iv) R<sup>7</sup> is H, alkyl, <u>or</u> fluoroalkyl, <del>(CH<sub>2</sub>)<sub>1-6</sub>OR, (CH<sub>2</sub>)<sub>1-6</sub>N(R)<sub>2</sub>,</del> -NR°C(O)R, C(O)R, C(H)(OR)R, aralkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroaralkyl;
- v)  $R^{10}$  is H, alkyl, -C(O)R,  $-PO_3M_x$ , -P(O)(alkyl)OM',  $-(PO_3)_2M_y$ ,  $-C(O)N(R)_2$ , or -C(O)OR, or a tumor-targeting moiety; or and  $R^{12}$  is H, alkyl, -C(O)R,  $-C(O)N(R)_2$ , -C(O)OR, or  $-SO_2R$ , 5-membered heterocyclyl, 5-membered heteroaralkyl, or a tumor-targeting moiety; and
  - vi) n is 1.
- 8. (cancelled).
- 9. (currently amended) The compound of claim  $\S 1$ , wherein  $R^{\circ}$  is H or  $C_{1-6}$  alkyl optionally substituted with halo, hydroxy or amino.
- 10. (currently amended) The compound of claim 6-or 7, wherein R<sup>10</sup> is R<sup>o</sup> and or R<sup>12</sup> is a polysaccharide, -[C(O)CH(R)N(R)]<sub>2-3</sub>-R, an antibody, or



- 11. (currently amended) The compound of claim 6-or 7, wherein said compound has one or more of the features selected from the group consisting of:
- i) R<sup>1</sup> is H, amino, -CH<sub>2</sub>NH<sub>2</sub>, -NHC(O)NHEt, -NHC(O)OEt, -NHCH<sub>2</sub>OH, -NHCH<sub>2</sub>CH<sub>2</sub>OH, -NH-CH<sub>2</sub>CH<sub>2</sub>Cl, -N(CH<sub>2</sub>OH)<sub>2</sub>, Cl, Br, -SCH<sub>3</sub>, CN, -C(O)NH<sub>2</sub>, -C(O)OH, methyl, or ethyl;
  - ii) R<sup>2</sup> is H, methyl, ethyl, amino, CF<sub>3</sub>, Cl, or Br;
  - iii) R<sup>3</sup> is H, methyl, ethyl, amino, or hydroxy;
  - iv) R<sup>4</sup> is H, methyl, ethyl, -CH<sub>2</sub>OH, or -CH<sub>2</sub>NH<sub>2</sub>;
- v) each R<sup>5</sup>, R<sup>6</sup> and R<sup>8</sup> is independently H, methyl, ethyl, -CH<sub>2</sub>F, -CHF<sub>2</sub>, or -CF<sub>3</sub>;
- vi) R<sup>7</sup> is H, methyl, ethyl, or CF<sub>3</sub>, -CH(OH)CH<sub>3</sub>, -CH<sub>2</sub>OH, or -CH<sub>2</sub>CH<sub>2</sub>OH; and
- vii) R<sup>10</sup> is H, methyl, ethyl, -C(O)Me, -C(O)Et, -C(O)NMe<sub>2</sub>, -<del>C(O)-p-OMe-phenyl, -C(O)O-phenyl, -PO3H2, -P(O)(OMe)2, -P(O)(OMe)OH, -P(O)(Me)OH, -P(O)(OH)OP(O)(OH)(OH), or R<sup>14</sup>; and R<sup>14</sup>-is selected from the group consisting of:</del>

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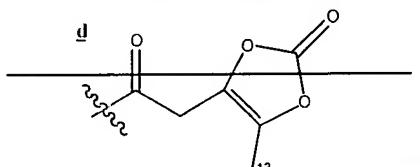
antibody; or and R<sup>12</sup> is H, methyl, or ethyl, R<sup>14</sup>;

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12. (currently amended) The compound of claim 6-or 7, wherein said compound has one or more of the features selected from the group consisting of:

- i)  $R^1$  is H,  $-N(R^{\circ})_2$ ,  $-SR^{\circ}$ , or halo;
- ii) R<sup>2</sup> is H, alkyl, fluoroalkyl, -N(R°)<sub>2</sub>, or halo;
- iii) R<sup>3</sup> and R<sup>4</sup> are independently H or alkyl;
- iv)  $R^7$  is H or alkyl;
- v)  $R^8$  is H or  $C_{1-6}$  unsubstituted alkyl; and
- vi)  $R^9$  is  $-OR^{10}$  and  $R^{10}$  is H,  $C_{1-6}$  unsubstituted alkyl, -C(O)R,  $-PO_3M_x$ ,  $-PO_3M_x$ ,  $-PO_3M_y$ , or  $-PO_3M_y$ , or -
- 13. (currently amended) The compound of claim12, wherein  $R^{10}$  is a polysaccharide,  $-[C(O)CH(R)N(R)]_{2.3}$  R, an antibody, or -H,  $C_{1-6}$  unsubstituted alkyl, or -C(O)R



wherein R<sup>13</sup> is H, alkyl, or aryl.

- 14. (currently amended) The compound of claim12, wherein said compound has one or more of the features selected from the group consisting of:
  - i)  $R^1$  is H, -NH<sub>2</sub>, -SCH<sub>3</sub>, or Cl;
  - ii) R<sup>2</sup> is H, methyl, ethyl, -CF<sub>3</sub>, -NH<sub>2</sub>, or Cl;
  - iii) R<sup>3</sup>, R<sup>4</sup>, R<sup>7</sup> and R<sup>8</sup> are independently H or, methyl, or ethyl; and
- iv)  $R^9$  is  $-OR^{10}$  and  $R^{10}$  is H, H,  $-\frac{R^o}{P}$ ,  $PO_3H_2$ ,  $-P(O)(OMe)_2$ , -P(O)(OMe)OH, -P(O)(Me)OH, or -P(O)(OH)OP(O)(OH)(OH),  $or R^{14}$ ; and  $R^{14}$  is as defined in 11.

- 15. (previously presented) The compound of claim 1, wherein said compound is IIa-1, IIa-2, IIa-3, IIa-4, IIa-5, IIa-6, IIa-7, IIa-8, IIa-9, IIa-10, IIa-11, or IIc-1.
- 16. (currently amended) A pharmaceutical composition comprising a compound of claims 1-15 and a pharmaceutically acceptable carrier.
- 17. (previously presented) The composition of claim16, further comprising at least one chemotherapeutic agent, antiangiogenic agent or agent which modulates signaling associated with hypoxic conditions in a cell.
- 18.-27. (cancelled).
- 28. (new) The compound of formula 1, wherein the compound is selected from the group consisting of:

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(c)

$$\begin{array}{c} \text{NH}_2 \\ \text{N} \\ \text{NH}_2 \\ \text{OH} \end{array}$$

(e) 
$$\begin{array}{c} NH_2 & CI \\ N & S \\ OH \end{array}$$
 ; and

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## 29. (new) The compound of formula 1, wherein the compound is

30. (new) The compound of claim 1, wherein:

 $R^{1}$  is H or  $-N(R)_{2}$ ;

R<sup>2</sup> is H or alkyl;

R<sup>3</sup> and R<sup>4</sup> are independently H or alkyl;

R<sup>7</sup> is H or alkyl;

 $R^8$  is H or  $C_{1-6}$  unsubstituted alkyl;

 $R^9$  is  $-OR^{10}$  and  $R^{10}$  is H,  $C_{1-6}$  unsubstituted alkyl, or -C(O)R;

R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup>, and R<sup>d</sup> are H; and

n is 1.